Connecting via Winsock to STN

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LOGINID:SSPTANXR1625

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	26	JUN 06	KOREAPAT updated with 41,000 documents

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS	HOURS	STN Operating Hours Plus Help Desk Availability
NEWS	LOGIN	Welcome Banner and News Items
NEWS	IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:34:30 ON 09 JUN 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:34:40 ON 09 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 6 JUN 2008 HIGHEST RN 1026208-38-7
DICTIONARY FILE UPDATES: 6 JUN 2008 HIGHEST RN 1026208-38-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

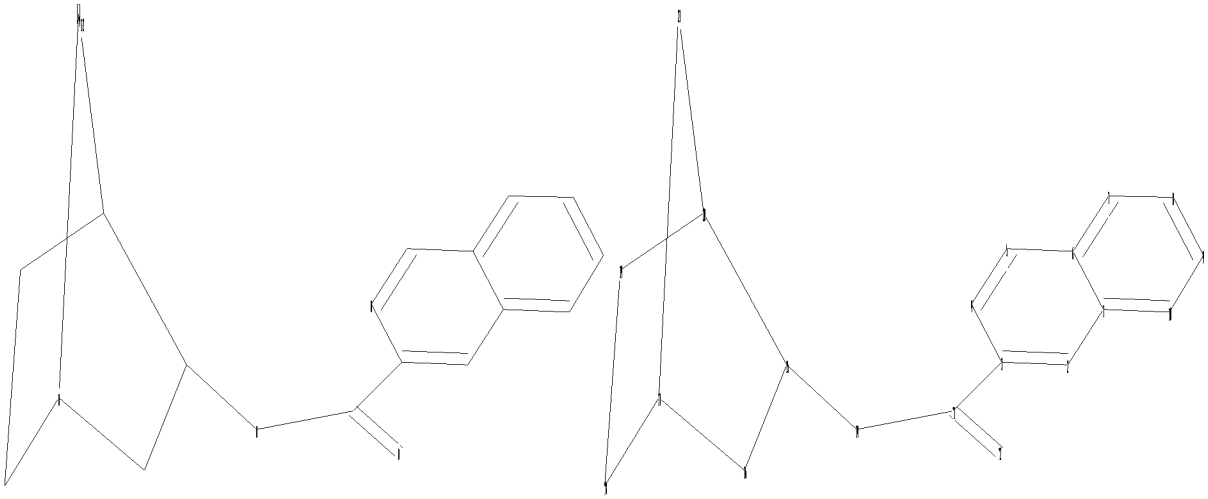
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10556356.str

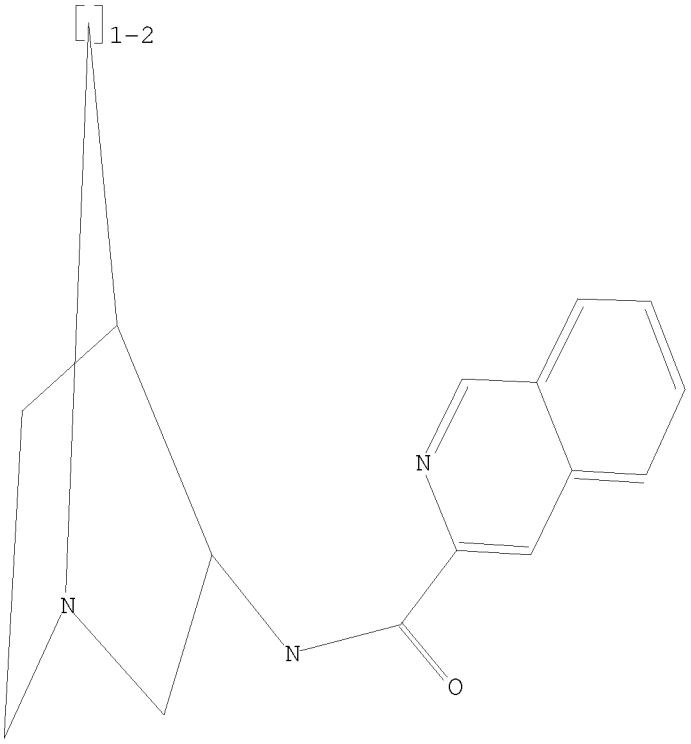


chain nodes :
11 12 14
ring nodes :
1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 21
chain bonds :
3-11 11-12 11-14 14-15
ring bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18
17-21 18-19 19-20 20-21
exact/norm bonds :
11-12 11-14 14-15 15-16 15-20 16-17 17-18 17-21 18-19 19-20
exact bonds :
3-11 20-21
normalized bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10
isolated ring systems :
containing 1 : 15 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom
21:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 09:35:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        9 TO ITERATE

100.0% PROCESSED        9 ITERATIONS                                 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            9 TO      360
PROJECTED ANSWERS:               2 TO      124

L2            2 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 09:35:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      115 TO ITERATE

100.0% PROCESSED      115 ITERATIONS                                29 ANSWERS
SEARCH TIME: 00.00.01

L3           29 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   178.36     178.57

FILE 'CAPLUS' ENTERED AT 09:35:12 ON 09 JUN 2008
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FILE COVERS 1907 - 9 Jun 2008 VOL 148 ISS 24
FILE LAST UPDATED: 8 Jun 2008 (20080608/ED)

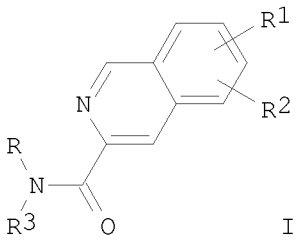
=> s l3 full
L4   5 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:996179 CAPLUS
DOCUMENT NUMBER: 141:424330
TITLE: Preparation of isoquinoline-3-carboxylic acid amides as α7 nicotinic acetylcholine receptor agonists
INVENTOR(S): Seiler, Max Peter
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099206	A1	20041118	WO 2004-EP5042	20040511
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004235971	A1	20041118	AU 2004-235971	20040511
AU 2004235971	B2	20080403		
CA 2524625	A1	20041118	CA 2004-2524625	20040511
EP 1633752	A1	20060315	EP 2004-732091	20040511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004010233	A	20060509	BR 2004-10233	20040511
CN 1784404	A	20060607	CN 2004-80012442	20040511
JP 2006525976	T	20061116	JP 2006-505416	20040511
US 20070142428	A1	20070621	US 2004-556356	20040511
MX 2005PA12154	A	20060208	MX 2005-PA12154	20051111
PRIORITY APPLN. INFO.:			GB 2003-10867 A	20030512
			WO 2004-EP5042 W	20040511

OTHER SOURCE(S): CASREACT 141:424330; MARPAT 141:424330
GI



I

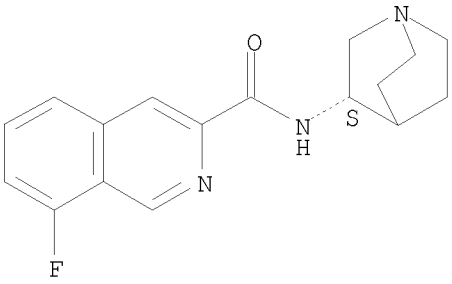
AB Isoquinolinecarboxamides I [R = (R)-3-quinuclidinyl, (S)-3-quinuclidinyl, (S)-1-azabicyclo[2.2.1]hept-2-yl, etc; R1, R2 = H, alkyl, halo, OH, alkoxy, alkylthio, cyano, CF3; R3 = H, alkyl] and their pharmaceutically acceptable acid addition salts, useful as α7 nicotinic acetylcholine receptor agonists, are prepared Thus, isoquinoline-3-carboxylic acid was treated with 1-hydroxybenotriazole and dicyclohexylcarbodiimide in DMF at

room temperature for 1 h to give, after treatment with (S)-3-aminoquinuclidine dihydrochloride at room temperature for 48 h, isoquinoline-3-carboxylic acid {(S)-1-zaabicyclo[2.2.2]oct-2-yl}amide monohydrochloride.

IT 794515-95-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of isoquinoline-3-carboxylic acid amides as α7 nicotinic acetylcholine receptor agonists)

RN 794515-95-0 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl-8-fluoro- (CA INDEX NAME)

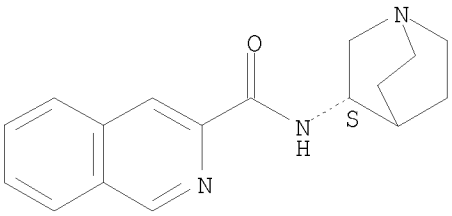
Absolute stereochemistry.



IT 794515-83-6P 794515-84-7P 794515-86-9P
794515-96-1P 794515-97-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoquinoline-3-carboxylic acid amides as α7 nicotinic acetylcholine receptor agonists)

RN 794515-83-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl-, hydrochloride (1:1) (CA INDEX NAME)

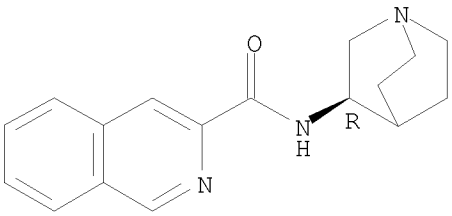
Absolute stereochemistry.



● HCl

RN 794515-84-7 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-, hydrochloride (1:1) (CA INDEX NAME)

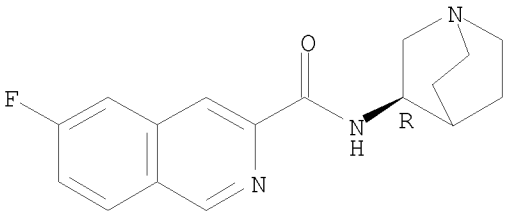
Absolute stereochemistry.



● HCl

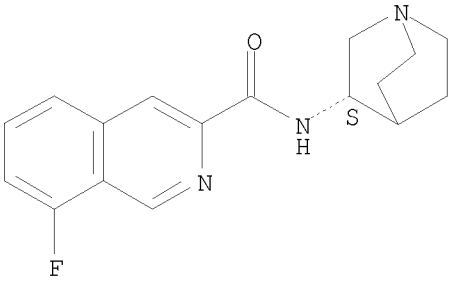
RN 794515-86-9 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 794515-96-1 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl-8-fluoro-, hydrochloride (1:?) (CA INDEX NAME)

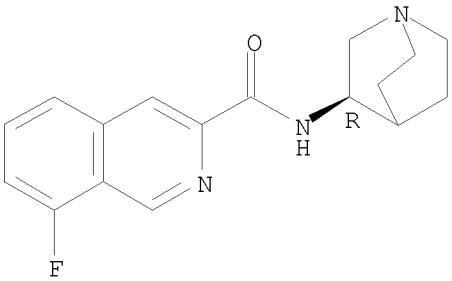
Absolute stereochemistry.



●x HCl

RN 794515-97-2 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-8-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:633526 CAPLUS
DOCUMENT NUMBER: 141:167817
TITLE: Treatment of diseases with alpha-7 NACh receptor full agonists
INVENTOR(S): Groppi, Vincent Edward, Jr.; Rogers, Bruce Nelsen; Rudmann, Daniel Gregory
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004064836	A2	20040805	WO 2004-IB115	20040112
WO 2004064836	A3	20041223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
AU 2004206107	A1	20040805	AU 2004-206107	20040112
CA 2513433	A1	20040805	CA 2004-2513433	20040112
EP 1587511	A2	20051026	EP 2004-701414	20040112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004006834	A	20051227	BR 2004-6834	20040112
CN 1764456	A	20060426	CN 2004-80007829	20040112
JP 2006515023	T	20060518	JP 2005-518724	20040112
US 20060019984	A1	20060126	US 2004-761914	20040121
MX 2005PA07689	A	20050930	MX 2005-PA7689	20050719
ZA 2005005880	A	20060426	ZA 2005-5880	20050721

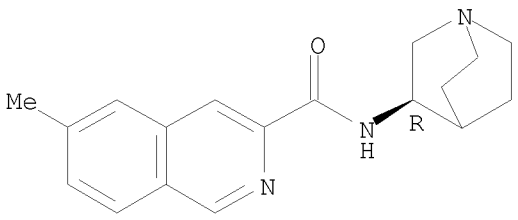
PRIORITY APPLN. INFO.: US 2003-441801P P 20030122
WO 2004-IB115 W 20040112
OTHER SOURCE(S): MARPAT 141:167817

AB The present invention relates to compositions and methods to treat diseases or conditions with alpha-7 nicotinic acetylcholine receptor (AChR) full agonists by decreasing levels of tumor necrosis factor-alpha and/or by stimulating vascular angiogenesis.

IT 590370-42-6P 711085-68-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nAChR agonist; preparation of N-(quinuclidinyl)heteroarylamides as nAChR agonists for use in combination therapy for treatment of ADHD)

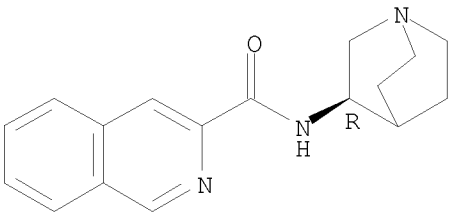
RN 590370-42-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 711085-68-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:513575 CAPLUS
DOCUMENT NUMBER: 141:71755
TITLE: Preparation of N-(quinuclidinyl)heteroarylamides as nicotinic acetylcholine receptor agonists for use in combination therapy for the treatment of ADHD
INVENTOR(S): Groppi, Vincent Edward, Jr.; Jacobsen, Eric Jon; Myers, Jason Kenneth; Piotrowski, David Walter; Rogers, Bruce Nelsen; Walker, Daniel Patrick; Wishka, Donn Gregory
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052461	A1	20040624	WO 2003-IB5542	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2509142	A1	20040624	CA 2003-2509142	20031128
AU 2003283656	A1	20040630	AU 2003-283656	20031128
EP 1572300	A1	20050914	EP 2003-775637	20031128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017229	A	20051101	BR 2003-17229	20031128
CN 1735441	A	20060215	CN 2003-80108489	20031128
JP 2006510663	T	20060330	JP 2004-558921	20031128
US 20050107425	A1	20050519	US 2004-963922	20041012
ZA 2005004338	A	20060726	ZA 2005-4338	20050527
IN 2005DN02284	A	20070112	IN 2005-DN2284	20050530
MX 2005PA06336	A	20050826	MX 2005-PA6336	20050613
NO 2005003185	A	20050817	NO 2005-3185	20050629

PRIORITY APPLN. INFO.:	US 2002-432586P	P	20021211
	WO 2003-IB5542	W	20031128
	US 2003-731402	B1	20031209

OTHER SOURCE(S): MARPAT 141:71755
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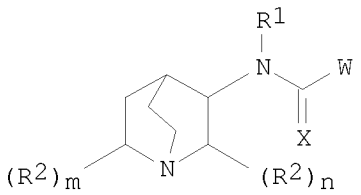

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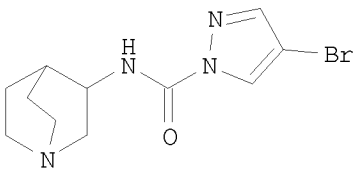


II

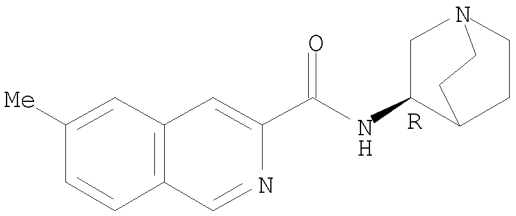
AB Title N-(1-azabicyclo[2.2.2]octyl)heteroarylamides I and analogs [wherein

X = o, S; R1 = H, (halo)alkyl, cycloalkyl, substituted Ph, naphthyl; R2 = independently halo, cycloalkyl, aryl, (un)substituted alkyl; m = 0-1; n = 0-1; with the proviso that m + n = 1; W = (un)substituted Ph, heterocyclyl, heteroaryl; or pharmaceutically acceptable salts, racemic mixts., or pure enantiomers thereof] were prepared as α7 nicotinic acetylcholine receptor (nAChR) full agonists (no data). For example, reaction of phosgene with 4-bromopyrazole in EtOAc, followed by coupling with (+)-3-aminoquinuclidine•2HCl provided II•HCl (25%). The invention provides for compns. of I with psychostimulants and/or monoamine reuptake inhibitors for the treatment of attention deficit hyperactivity disorder (ADHD).

IT 590370-42-6P 711085-68-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nAChR agonist; preparation of N-(quinuclidinyl)heteroarylamides as nAChR agonists for use in combination therapy for treatment of ADHD)

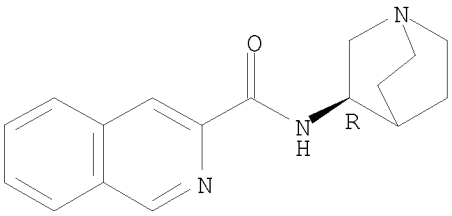
RN 590370-42-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 711085-68-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:513522 CAPLUS
DOCUMENT NUMBER: 141:71300
TITLE: A preparation of azabicycloalkane derivatives, useful as α7 nicotinic acetylcholine receptor (α7 nAChR) agonists
INVENTOR(S): Corbett, Jeffrey Wayne; Groppi, Vincent Edward, Jr.
PATENT ASSIGNEE(S): Upjohn Company, USA
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052348	A2	20040624	WO 2003-IB5525	20031128
WO 2004052348	A3	20041021		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508004	A1	20040624	CA 2003-2508004	20031128
AU 2003279492	A1	20040630	AU 2003-279492	20031128
EP 1572205	A2	20050914	EP 2003-772599	20031128
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BR 2003017110	A	20051025	BR 2003-17110	20031128
CN 1726033	A	20060125	CN 2003-80105811	20031128
JP 2006510662	T	20060330	JP 2004-558917	20031128
US 20050245504	A1	20051103	US 2003-731565	20031209
MX 2005PA05666	A	20050726	MX 2005-PA5666	20050526

PRIORITY APPLN. INFO.: US 2002-432527P P 20021211
WO 2003-IB5525 W 20031128
OTHER SOURCE(S): MARPAT 141:71300
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

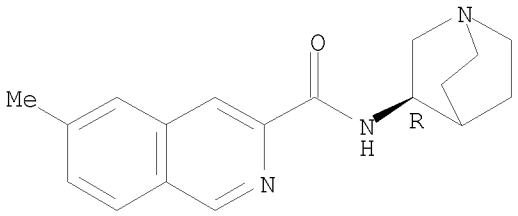
AB The invention relates to azabicycloalkane derivs. of formula azabicyclo-N(R1)-C(:X)-W [wherein: R1 is H, (cyclo)alkyl, or haloalkyl, etc.; X is O or S; W is a substituted benzene], useful as α7 nAChR agonists. Pharmacokinetics of the prepared compds. were evaluated (no biol. data). Blood-brain barrier penetration was investigated (no biol. data). For instance, chiral azabicycloheptane derivative I was prepared via addition of Me 3-bromopropargylate to N-Boc-pyrrole, reduction of the obtained azabicyclo[2.2.1]heptadiene II, hydrolysis of the obtained azabicycloheptane derivative III (R2 = OMe), reaction of the carboxylic acid III (R2 = OH) with diphenylphosphoryl azide and benzyl alc., resolution of the obtained exo-derivative IV, and hydrogenation.
IT 590370-42-6P 711085-68-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicycloalkane derivs. useful as α7 nAChR agonists)

RN 590370-42-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-methyl- (CA INDEX NAME)

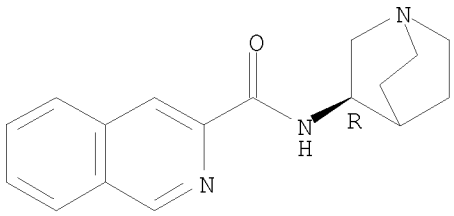
Absolute stereochemistry.



RN 711085-68-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:696897 CAPLUS
DOCUMENT NUMBER: 139:214614
TITLE: Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
INVENTOR(S): Jacobsen, Eric Jon; Myers, Jason K.; Walker, Daniel P.; Wishka, Donn G.; Reitz, Steven C.; Piotrowski, David W.; Acker, Brad A.; Groppi, Vincent E., Jr.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072578	A1	20030904	WO 2003-US2688	20030214
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003214936	A1	20030909	AU 2003-214936	20030214
US 20030236270	A1	20031225	US 2003-366894	20030214
US 7001900	B2	20060221		
EP 1478646	A1	20041124	EP 2003-710784	20030214
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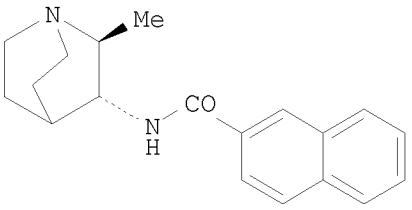
BR 2003007874	A	20041228	BR 2003-7874	20030214
JP 2005525357	T	20050825	JP 2003-571284	20030214
MX 2004PA07083	A	20041029	MX 2004-PA7083	20040722
PRIORITY APPLN. INFO.:			US 2002-358146P P	20020220
			WO 2003-US2688 W	20030214

OTHER SOURCE(S): MARPAT 139:214614
GI



I

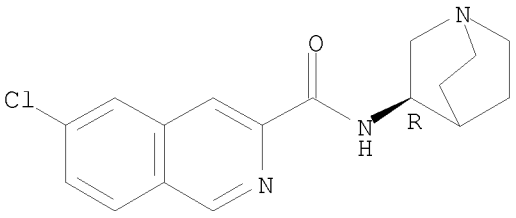
AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders,

such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the hydrochloride salt of amide I was prepared via a multistep synthetic sequence which concluded with an amidation reaction of the corresponding (2S,3R)-azabicyclic amine dihydrochloride with 2-naphthoic acid using diphenylphosphinic chloride and Et3N in THF. The prepared amides were assayed for human α7-5HT3 receptor binding activity.

IT 590369-86-1P 590369-89-4P 590370-42-6P
590370-43-7P 590370-44-8P 590370-45-9P
590370-46-0P 590370-47-1P 590370-48-2P
590370-49-3P 590370-50-6P 590371-03-2P
590371-04-3P 590371-05-4P 590371-06-5P
590371-07-6P 590371-08-7P 590371-09-8P
590371-10-1P 590371-11-2P 590371-12-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

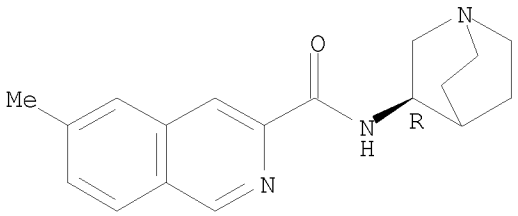
RN 590369-86-1 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-chloro- (CA INDEX NAME)

Absolute stereochemistry.



RN 590369-89-4 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-methyl-, hydrochloride (1:2) (CA INDEX NAME)

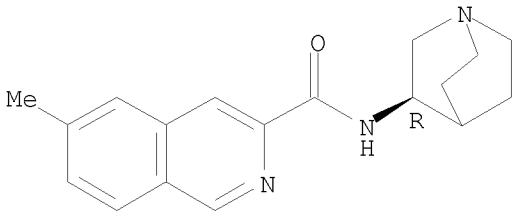
Absolute stereochemistry.



●2 HCl

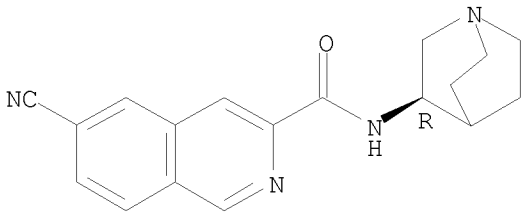
RN 590370-42-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-methyl-
(CA INDEX NAME)

Absolute stereochemistry.



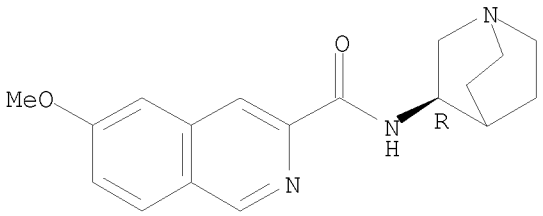
RN 590370-43-7 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-cyano-
(CA INDEX NAME)

Absolute stereochemistry.



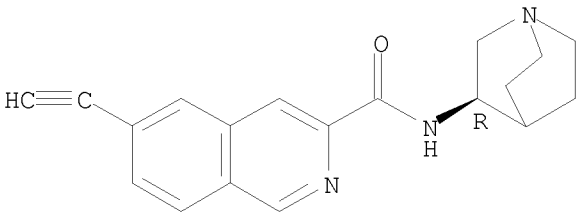
RN 590370-44-8 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-methoxy-
(CA INDEX NAME)

Absolute stereochemistry.



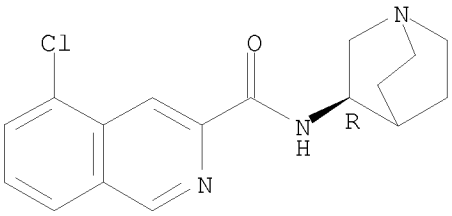
RN 590370-45-9 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-ethynyl-
(CA INDEX NAME)

Absolute stereochemistry.



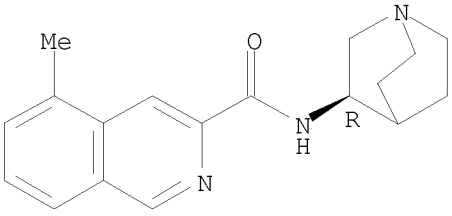
RN 590370-46-0 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5-chloro-
(CA INDEX NAME)

Absolute stereochemistry.



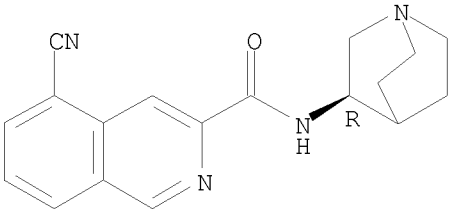
RN 590370-47-1 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5-methyl-
(CA INDEX NAME)

Absolute stereochemistry.



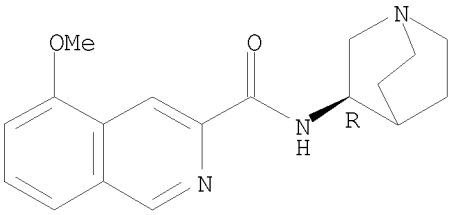
RN 590370-48-2 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5-cyano-
(CA INDEX NAME)

Absolute stereochemistry.



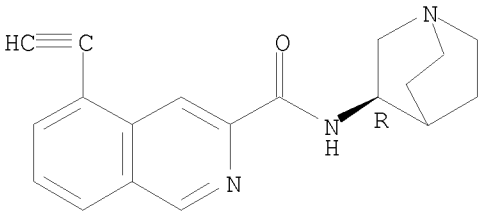
RN 590370-49-3 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



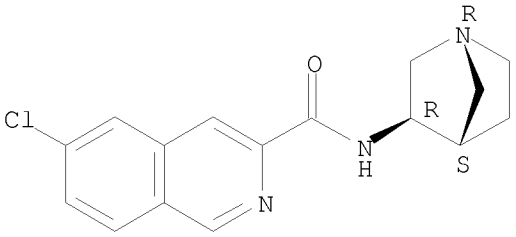
RN 590370-50-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5-ethynyl- (CA INDEX NAME)

Absolute stereochemistry.



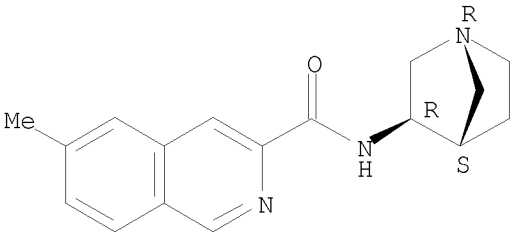
RN 590371-03-2 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(1R,3R,4S)-1-azabicyclo[2.2.1]hept-3-yl-6-chloro- (CA INDEX NAME)

Absolute stereochemistry.



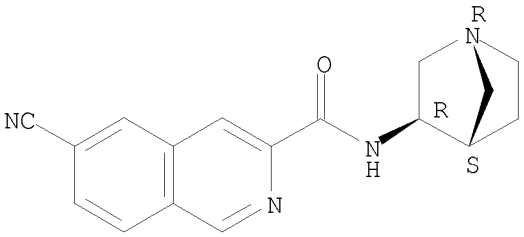
RN 590371-04-3 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(1R,3R,4S)-1-azabicyclo[2.2.1]hept-3-yl-6-methyl- (CA INDEX NAME)

Absolute stereochemistry.



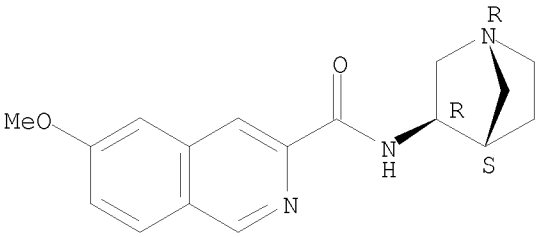
RN 590371-05-4 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(1R,3R,4S)-1-azabicyclo[2.2.1]hept-3-yl-6-cyano- (CA INDEX NAME)

Absolute stereochemistry.



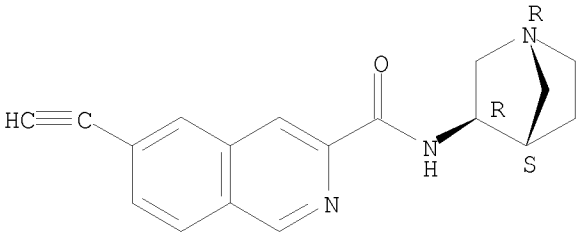
RN 590371-06-5 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(1R,3R,4S)-1-azabicyclo[2.2.1]hept-3-yl-6-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 590371-07-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(1R,3R,4S)-1-azabicyclo[2.2.1]hept-3-yl-6-ethynyl- (CA INDEX NAME)

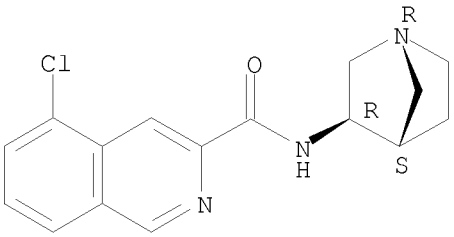
Absolute stereochemistry.



RN 590371-08-7 CAPLUS

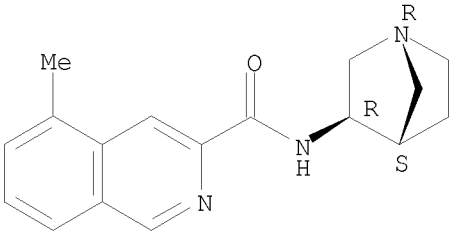
CN 3-Isoquinolinecarboxamide, N-(1R,3R,4S)-1-azabicyclo[2.2.1]hept-3-yl-5-chloro- (CA INDEX NAME)

Absolute stereochemistry.



RN 590371-09-8 CAPLUS
CN 3-Isoquinolinecarboxamide, N-(1R,3R,4S)-1-azabicyclo[2.2.1]hept-3-yl-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 590371-10-1 CAPLUS
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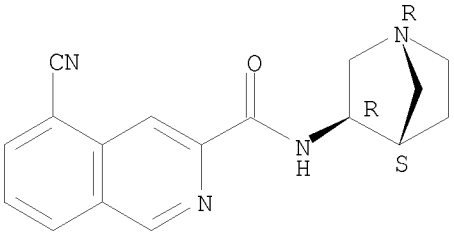
Absolute stereochemistry.



RN 590371-11-2 CAPLUS
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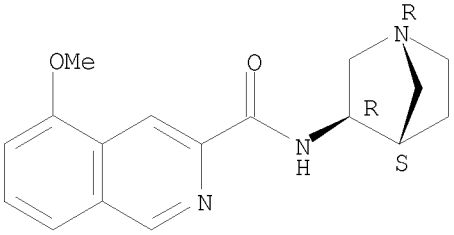
Absolute stereochemistry.



RN 590371-12-3 CAPLUS
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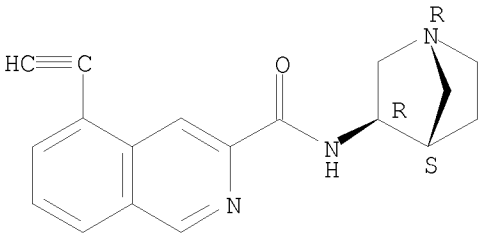
Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -4.00      -4.00

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